

Patent Application
Attorney Docket No. PC9576A

REMARKS

Claims 3 - 13 and 21 are pending and have been allowed. A Notice of Allowance and Issue Fee due was mailed on December 11, 2002, requiring payment of the Issue Fee by March 11, 2002. The issue fee has not yet been paid; accordingly, this amendment conforms to the requirements of 37 C.F.R. 1.312.

This amendment is filed pursuant to 37 C.F.R. 1.312, in order to correct obvious errors in claim 3. The structure shown in claim 1, which was incorporated into claim 3 in applicants' November 28, 2001 submission under 37 C.F.R. 1.114(a), has been redrawn at the 6-carbon, as explained below. In addition, the methyl group on the 2-carbon was redrawn to show the hydrogens attached to the carbon, consistent with the usage on the remainder of the molecule. Finally, R^5 and R^{10} have been eliminated from claim 3, as explained below. Applicants submit that no new matter is raised by the amendment of claim 3, for the following reasons.

Claim 3 has been amended by re-drawing the bonds emanating from the 6-carbon in order to conform the structure depicted to the standard used in the art to portray erythromycin derivatives. The structure shown in claim 1, which was incorporated into claim 3, was drawn such that the bonds emanating from the 6-carbon are chemically impossible, i.e., the carbon is tetravalent but the bonds all point in the same direction away from the 6-carbon. The indicated "hatch" and "wedge" designations are correct but have been amended to be drawn pointing inward. Applicants submit that the misdrawing is obvious not only because of the chemical impossibility of the structure as drawn, but also because the depiction does not conform to the standard format for drawing erythromycin derivatives. Applicants point out that all of the disclosed and claimed compounds are 9-deoxy-9a-aza-9a-homoerythromycin A derivatives prepared from an erythromycin core according to U.S. patents 4,474,768 and 4,517,359 (which were incorporated by reference, see page 16). The erythromycins have a well-established structure which is presented effectively in the drawing shown in amended claim 3.

Regarding the definitions for R^5 and R^{10} , applicants inadvertently overlooked the fact that the selection of R^3 groups in claim 3 rendered superfluous the group R^5 from claim 1. The selection

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of R⁴ groups in claim 2 also rendered superfluous the group R¹⁰ from claim 1. Thus, in the current amendment applicants have removed R⁵ and R¹⁰ from claim 3.

A marked-up version of claim 3 showing the changes made is attached hereto under the heading **"Please DO NOT ENTER – Claims marked to show changes made."**

A favorable response is earnestly solicited. Applicants regret any inconvenience imposed on the Examining branch by this amendment. If any further explanation or clarification is needed, applicants respectfully request that the Examiner contact applicants' undersigned attorney at the number listed below as soon as possible.

Respectfully submitted,

Date: March 5, 2002

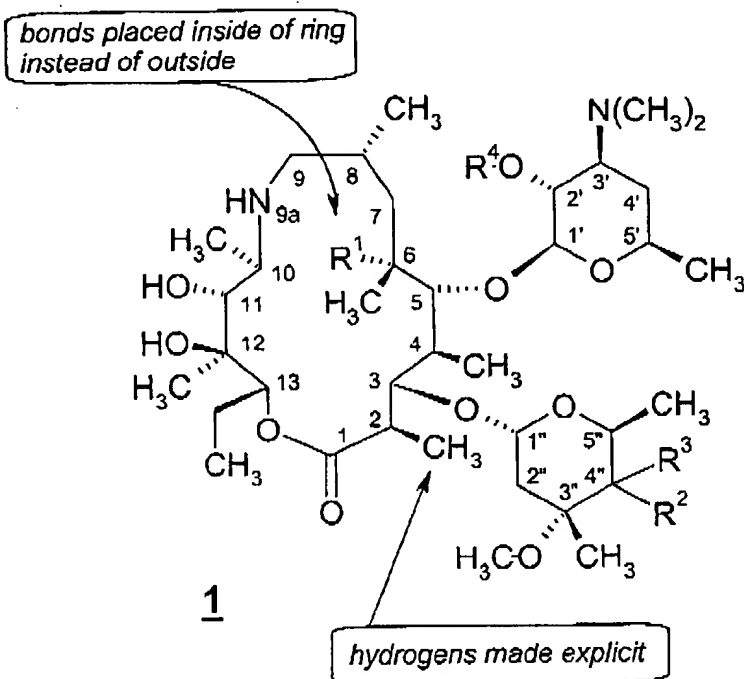

Jeffrey N. Myers
Attorney for Applicant(s)
Reg. No. 41,213

Pfizer, Inc
Patent Department, 5th Floor
150 East 42nd Street
New York, NY 10017-5612
(212) 733-5061

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3. (Twice Amended) A compound of the formula



or a pharmaceutically acceptable salt thereof, wherein R^1 is hydroxy, R^2 is hydroxy, R^3 is $-\text{CH}_2\text{NR}^8\text{R}^{15}$ or $-\text{CH}_2\text{SR}^8$;

R^4 is H, acetyl or benzyloxycarbonyl;

R^5 is $-\text{SR}^8$, $-(\text{CH}_2)_n\text{C}(\text{O})\text{R}^8$ wherein n is 0 or 1, C_1 - C_{10} alkyl, C_2 - C_{10} alkenyl, C_2 - C_{10} alkynyl, $-(\text{CH}_2)_m(\text{C}_6\text{-C}_{10}\text{ aryl})$, or $-(\text{CH}_2)_m(5\text{-}10\text{ membered heteroaryl})$, wherein m is an integer ranging from 0 to 4, and wherein the foregoing R^5 groups are optionally substituted by 1 to 3 R^{16} groups;

each R^6 and R^7 is independently H, hydroxy, C_1 - C_6 alkoxy, C_1 - C_6 alkyl, C_2 - C_6 alkenyl, C_2 - C_6 alkynyl, $-(\text{CH}_2)_m(\text{C}_6\text{-C}_{10}\text{ aryl})$, or $-(\text{CH}_2)_m(5\text{-}10\text{ membered heteroaryl})$, wherein m is an integer ranging from 0 to 4;

each R^8 is independently H, C_1 - C_{10} alkyl, C_2 - C_{10} alkenyl, C_2 - C_{10} alkynyl.

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$-(CH_2)_q CR^{11} R^{12} (CH_2)_r NR^{13} R^{14}$ wherein q and r are each independently an integer ranging from 0 to 3 except q and r are not both 0, $-(CH_2)_m (C_6-C_{10} \text{ aryl})$, or $-(CH_2)_m (5-10 \text{ membered heteroaryl})$, wherein m is an integer ranging from 0 to 4, and wherein the foregoing R^8 groups, except H, are optionally substituted by 1 to 3 R^{16} groups;

or where R^8 is as $-CH_2 NR^8 R^{15}$, R^{15} and R^8 may be taken together to form a 4-10 membered monocyclic or polycyclic saturated ring or a 5-10 membered heteroaryl ring, wherein said saturated and heteroaryl rings optionally include 1 or 2 heteroatoms selected from the group consisting of O, S and $-N(R^8)-$, in addition to the nitrogen to which R^{15} and R^8 are attached, said saturated ring optionally includes 1 or 2 carbon-carbon double or triple bonds, and said saturated and heteroaryl rings are optionally substituted by 1 to 3 R^{16} groups;

each R^9 and R^{10} is independently H or C_1-C_6 alkyl;

each R^{11} , R^{12} , R^{13} and R^{14} is independently selected from the group consisting of H, C_1-C_{10} alkyl, $-(CH_2)_m (C_6-C_{10} \text{ aryl})$, and $-(CH_2)_m (5-10 \text{ membered heteroaryl})$, wherein m is an integer ranging from 0 to 4, and wherein the foregoing R^{11} , R^{12} , R^{13} and R^{14} groups, except H, are optionally substituted by 1 to 3 R^{16} groups;

or R^{11} and R^{13} are taken together to form $-(CH_2)_p-$ wherein p is an integer ranging from 0 to 3 such that a 4-7 membered saturated ring is formed that optionally includes 1 or 2 carbon-carbon double or triple bonds;

or R^{13} and R^{14} are taken together to form a 4-10 membered monocyclic or polycyclic saturated ring or a 5-10 membered heteroaryl ring, wherein said saturated and heteroaryl rings optionally include 1 or 2 heteroatoms selected from the group consisting of O, S and $-N(R^8)-$, in addition to the nitrogen to which R^{13} and R^{14} are attached, said saturated ring optionally includes 1 or 2 carbon-carbon double or triple bonds, and said saturated and heteroaryl rings are optionally substituted by 1 to 3 R^{16} groups;

R^{15} is H, C_1-C_{10} alkyl, C_2-C_{10} alkenyl, or C_2-C_{10} alkynyl, wherein the foregoing R^{15} groups are optionally substituted by 1 to 3 substituents independently selected from the group consisting of halo and $-OR^9$;

each R^{16} is independently selected from the group consisting of halo, cyano, nitro, trifluoromethyl, azido, $-C(O)R^{17}$, $-C(O)OR^{17}$, $-OC(O)OR^{17}$, $-NR^6C(O)R^7$, $-C(O)NR^6 R^7$,

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-NR⁶R⁷, hydroxy, C₁-C₆ alkyl, C₁-C₆ alkoxy, -(CH₂)_m(C₆-C₁₀ aryl), and -(CH₂)_m(5-10 membered heteroaryl), wherein m is an integer ranging from 0 to 4, and wherein said aryl and heteroaryl substituents are optionally substituted by 1 or 2 substituents independently selected from the group consisting of halo, cyano, nitro, trifluoromethyl, azido, -C(O)R¹⁷, -C(O)OR¹⁷, -OC(O)OR¹⁷, -NR⁶C(O)R⁷, -C(O)NR⁶R⁷, -NR⁶R⁷, hydroxy, C₁-C₆ alkyl, and C₁-C₆ alkoxy;

each R¹⁷ is independently selected from the group consisting of H, C₁-C₁₀ alkyl, C₂-C₁₀ alkenyl, C₂-C₁₀ alkynyl, -(CH₂)_m(C₆-C₁₀ aryl), and -(CH₂)_m(5-10 membered heteroaryl), wherein m is an integer ranging from 0 to 4;

with the proviso that R⁸ is not H where R³ is -CH₂SR⁸.